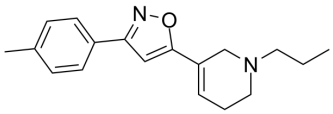
PD 144418

Cat. No.:	HY-108512
CAS No.:	154130-99-1
Molecular Formula:	$C_{18}H_{22}N_2O$
Molecular Weight:	282.38
Target:	Sigma Receptor
Pathway:	Neuronal Signaling
Storage:	Pure form -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (354.13 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5413 mL	17.7066 mL	35.4133 mL
5 mM	0.7083 mL	3.5413 mL	7.0827 mL
10 mM	0.3541 mL	1.7707 mL	3.5413 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.85 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PD 144418 is a highly affinity, potent and selective sigma 1 (σ1) receptor ligand (K_i values of 0.08 nM and 1377 nM for σ1 and σ2 respectively). PD 144418 devoids of any significant affinity for other receptors, ion channels and enzymes. PD 144418 shows potential antipsychotic activity[1][2].

IC_{50} & Target

Ki: 0.08 nM (σ1 receptor) and 1377 nM (σ2 receptor)[1]

In Vitro

In vitro, PD 144418 reverses the N-methyl-D-aspartate (NMDA)-induced increase in cyclic GMP (cGMP) in rat cerebellar slices without affecting the basal levels, suggesting that σ1 sites may be important in the regulation of glutamine-induced actions. PD 144418 potentiates the decrease in 5-hydroxytryptophan caused by Haloperidol in the mesolimbic region, but by itself has no effect in 5-HT and dopamine (DA) synthesis[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PD 144418 (10 mg/kg; intraperitoneal injection; male CD-1 mice) treatment antagonizes Mescaline-induced scratching at doses that did not alter spontaneous motor activity, with PD 144418 showing ED_{50} values of 7.0 mg/kg i.p.[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male CD-1 mice induced with Mescaline[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection
Result:	Antagonized mescaline-induced scratching at doses that did not alter spontaneous motor activity.

REFERENCES

[1]. Akunne HC, et al. The pharmacology of the novel and selective sigma ligand, PD 144418. Neuropharmacology. 1997 Jan;36(1):51-62.

[2]. Lever JR, et al. Relationship between cerebral sigma-1 receptor occupancy and attenuation of cocaine's motor stimulatory effects in mice by PD144418. J Pharmacol Exp Ther. 2014 Oct;351(1):153-63.

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